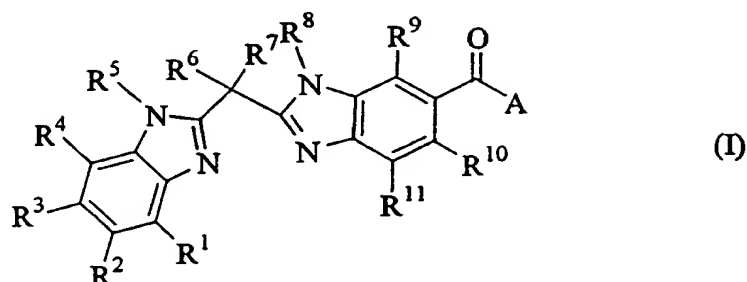


CLAIMS

1. A compound of the general formula (I)



in which

R^1 , R^2 , R^3 and R^4 are identical or different and represent hydrogen, hydroxy or halogen,

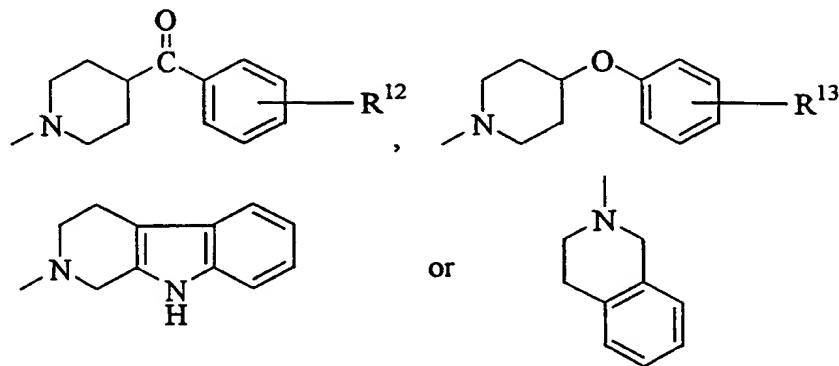
R^5 and R^8 are identical or different and represent hydrogen, straight-chain or branched (C_1 - C_4)-alkyl,

R^6 and R^7 are identical or different and represent hydrogen, straight-chain or branched (C_1 - C_6)-alkyl, hydroxy, halogen, or straight-chain or branched (C_1 - C_6)-alkoxy,

R^9 , R^{10} and R^{11} are identical or different and represent hydrogen, halogen, nitro, cyano or trifluoromethyl,

and

A represents a residue of the formula



wherein

R^{12} and R^{13} are identical or different and denote hydrogen, halogen, nitro, cyano, straight-chain or branched (C_1 - C_6)-alkyl or (C_1 - C_6)-alkoxy, or hydroxy,

or

A represents a non-aromatic 5- to 7-membered N-heterocycle which is bound over the nitrogen atom and which optionally contains an oxygen atom or a residue $-NR^{14}$ or $-CH-R^{15}$,

wherein R^{14} and R^{15} are identical or different and denote hydrogen, $(C_3 - C_8)$ -cycloalkyl, or denotes straight-chain or branched $(C_1 - C_4)$ -alkyl, which is optionally substituted by $(C_6 - C_{10})$ -aryl,
or denote $(C_6 - C_{10})$ -aryl or a 5- or 6-membered aromatic or non-aromatic heterocycle having up to 3 heteroatoms from the series comprising N, S and/or O, and which, in the case of the non-aromatic heterocycle, is optionally bound over the nitrogen atom and wherein the aryl and the heterocycle are optionally mono- to tri-substituted by identical or different substituents from the series comprising halogen, nitro, cyano, hydroxy, trifluormethyl or a residue of the formula $-NR^{16}R^{17}$,

in which

R^{16} and R^{17} are identical or different and denote hydrogen, straight-chain or branched $(C_1 - C_4)$ -alkyl or $(C_1 - C_4)$ acyl, or $-SO_2-CF_3$, or R^{16} and R^{17} form together with the nitrogen atom a non-aromatic 5- to 7-membered heterocycle, optionally further having an oxygen atom or $-NH$,

or

R^{14} denotes a residue of the formula $-SO_2-R^{18}$,

in which

R^{18} denotes $(C_6 - C_{10})$ -aryl, or straight-chain or branched $(C_1 - C_4)$ -alkyl,

or

A represents a residue of the formula $-NR^{19}R^{20}$,

in which

R^{19} denotes hydrogen or straight-chain or branched $(C_1 - C_4)$ -alkyl,

R^{20} denotes a residue of the formula $-D-E-R^{21}$,

in which

D denotes a straight-chain or branched $(C_1 - C_6)$ -alkyl chain,

E denotes an oxygen atom or a bond

and

R^{21} denotes $(C_6 - C_{10})$ -aryl or a 5- or 6-membered aromatic heterocycle having up to 3 heteroatoms from the series comprising N, S and/or O,

which are optionally mono- to tri-substituted by nitro, cyano, halogen, tetrazolyl or by a residue of the formula $-NR^{22}R^{23}$,

in which

R^{22} and R^{23} are identical or different and denote hydrogen, straight-chain or branched

(C₁ - C₆)-acyl or (C₁ - C₆)-alkyl, or R²² denotes hydrogen and R²³ denotes -SO₂-CF₃, or its tautomeric or stereoisomeric form, or its physiologically acceptable salt.

2. A compound as claimed in claim 1

in which

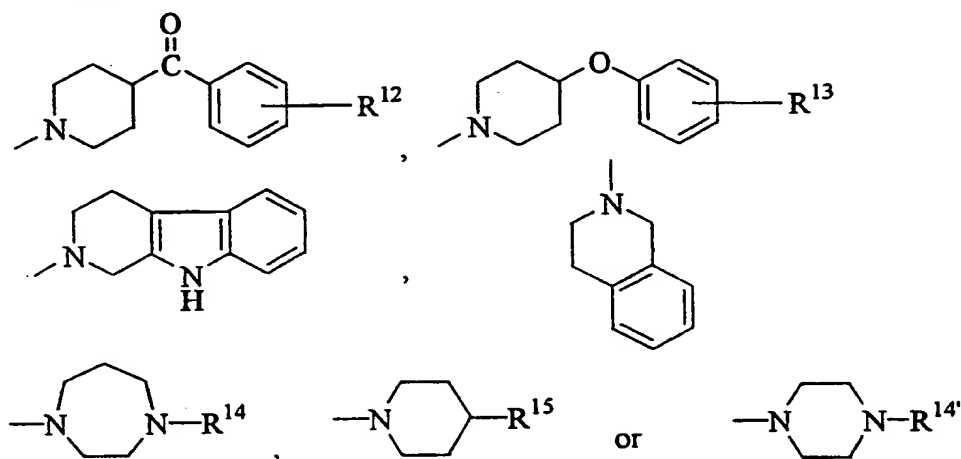
R¹, R², R³ and R⁴ are identical or different and represent hydrogen, hydroxy or fluorine, wherein at least one of the above mentioned substituents R¹, R², R³ or R⁴ is different from hydrogen,

R⁵ and R⁸ are identical or different and represent hydrogen, methyl, ethyl or isopropyl,

R⁶ and R⁷ are identical or different and represent hydrogen, straight-chain or branched (C₁-C₄)-alkyl, hydroxy, or fluorine,

R⁹, R¹⁰ and R¹¹ are identical or different and represent hydrogen, fluorine, chlorine or cyano, and

A represents a residue of the formula



wherein

R¹² and R¹³ are identical or different and denote hydrogen, fluorine, chlorine or cyano, R¹⁴, R^{14'} and R¹⁵ are identical or different and denote hydrogen, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, or denote straight-chain or branched (C₁ - C₃)-alkyl, which is optionally substituted by phenyl, or denote phenyl, pyrimidyl, pyridyl or piperidinyl, which are optionally substituted by fluorine, chlorine, nitro, cyano or a residue of the formula -NR¹⁶R¹⁷,

in which

R^{16} and R^{17} are identical or different and denote hydrogen, straight-chain or branched ($C_1 - C_3$)-alkyl or ($C_1 - C_3$)-acyl, or $-SO_2-CF_3$,

or

$R^{14'}$ denotes a residue of the formula $-SO_2-R^{18}$,

in which

R^{18} denotes phenyl, or straight-chain or branched ($C_1 - C_3$)-alkyl,

or

A represents a residue of the formula $-NR^{19}R^{20}$,

in which

R^{19} denotes hydrogen, or straight-chain or branched ($C_1 - C_3$)-alkyl

and

R^{20} denotes a residue of the formula $D-E-R^{21}$,

in which

D denotes a straight-chain or branched ($C_1 - C_3$)-alkyl chain,

E denotes an oxygen atom or a bond

and

R^{21} denotes phenyl or pyridyl, which are optionally monosubstituted or disubstituted by nitro, cyano, fluorine, chlorine, tetrazolyl or by a residue of the formula $-NR^{22}R^{23}$,

in which

R^{22} and R^{23} are identical or different and denote hydrogen, straight-chain or branched ($C_1 - C_3$)-acyl, or R^{22} denotes hydrogen and R^{23} denotes $-SO_2-CF_3$,

or its tautomeric or stereoisomeric form, or its physiologically acceptable salt.

3. A compound as claimed in claim 1

in which

R^1 , R^2 , R^3 and R^4 are identical or different and represent hydrogen, hydroxy or fluorine,

wherein two or three of the above mentioned substituents R^1 , R^2 , R^3 or R^4 are different from hydrogen,

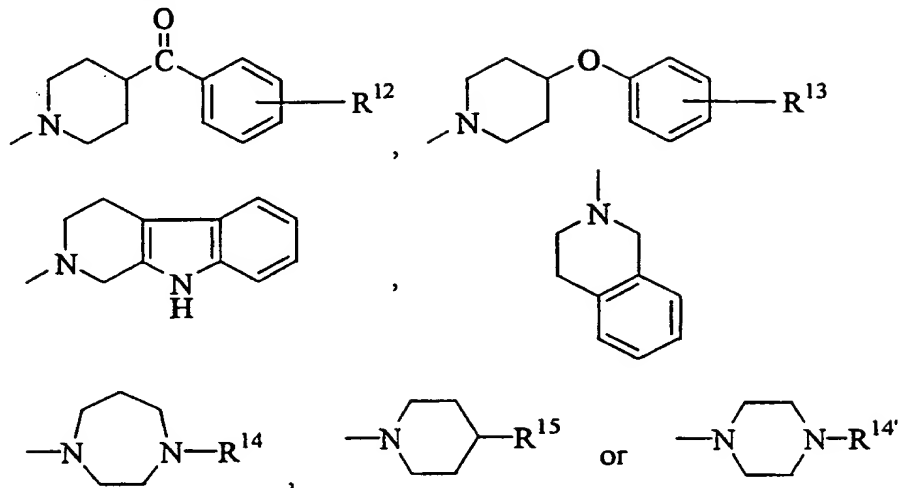
R^5 and R^8 are identical or different and represent hydrogen, methyl or isopropyl,

R^6 and R^7 are identical or different and represent hydrogen, or straight-chain or branched ($C_1 - C_3$)-alkyl, hydroxy, or fluorine,

R^9 , R^{10} and R^{11} are identical or different and represent hydrogen or fluorine,

and

A represents a residue of the formula



wherein

R^{12} and R^{13} are identical or different and denote hydrogen or fluorine

and

R^{14} , $R^{14'}$ and R^{15} are identical or different and denote hydrogen, cyclopentyl, cyclohexyl, cycloheptyl, or denote straight-chain or branched ($C_1 - C_3$)-alkyl, which is optionally substituted by phenyl, or denote phenyl, pyrimidyl, pyridyl or piperidinyl, which are optionally substituted by fluorine, nitro, cyano or residue of a formula - $NR^{16}R^{17}$,

in which

R^{16} and R^{17} are identical or different and denote hydrogen, straight-chain or branched ($C_1 - C_3$)-alkyl, or $-SO_2-CF_3$,

or

$R^{14'}$ denotes a residue of the formula $-SO_2-R^{18}$,

in which

R^{18} denotes phenyl or methyl,

or

A represents a residue of the formula $-NR^{19}R^{20}$,

in which

R^{19} denotes hydrogen or methyl

and

R^{20} denotes a residue of the formula $-D-E-R^{21}$,

in which

D denotes a straight-chain or branched (C₁ - C₄)-alkyl chain,

E denotes an oxygen atom or a bond

and

R²¹ denotes phenyl or pyridyl, which are optionally monosubstituted or disubstituted by nitro, cyano, fluorine, tetrazolyl or by a residue of the formula

-NR²²R²³,

in which

R²² and R²³ are identical or different and denote hydrogen, straight-chain or branched (C₁ - C₃)-acyl, or R²² denotes hydrogen and R²³ denotes -SO₂-CF₃,

or its tautomeric or stereoisomeric form, or its physiologically acceptable salt.

4. A compound as claimed in claim 1

in which

R¹, R², R³ and R⁴ are identical or different and represent hydrogen or fluorine,

wherein two or three of the above mentioned substituents R¹, R², R³ or R⁴ are different from hydrogen,

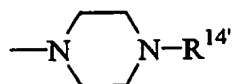
R⁵ denotes hydrogen and R⁸ denotes methyl,

R⁶ and R⁷ are identical or different and represent hydrogen, methyl or fluorine,

R⁹, R¹⁰ and R¹¹ are hydrogen,

and

A represents a residue of the formula



wherein

R¹⁴ denotes phenyl which is optionally substituted by fluorine, cyano or -NHSO₂CF₃,

or

A represents a residue of the formula -NR¹⁹R²⁰,

in which

R¹⁹ denotes hydrogen,

R²⁰ denotes a residue of the formula -D-E-R²¹,

in which

D denotes $(CH_2)_2$ - ,

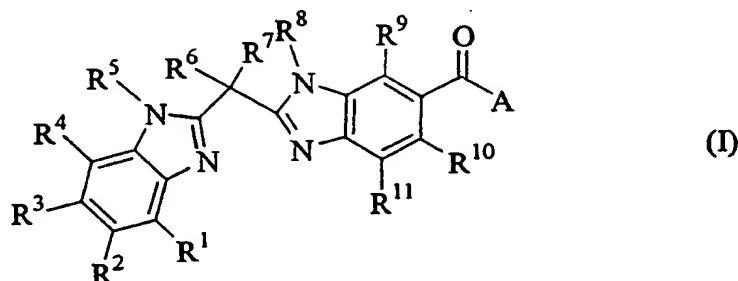
E denotes an oxygen atom

and

R^{21} denotes phenyl which is optionally monosubstituted or disubstituted by fluorine or cyano,

or its tautomeric or stereoisomeric form, or its physiologically acceptable salt.

5. A process for the preparation of a compound of the general formula (I)



in which

R^1 , R^2 , R^3 and R^4 are identical or different and represent hydrogen, hydroxy or halogen,

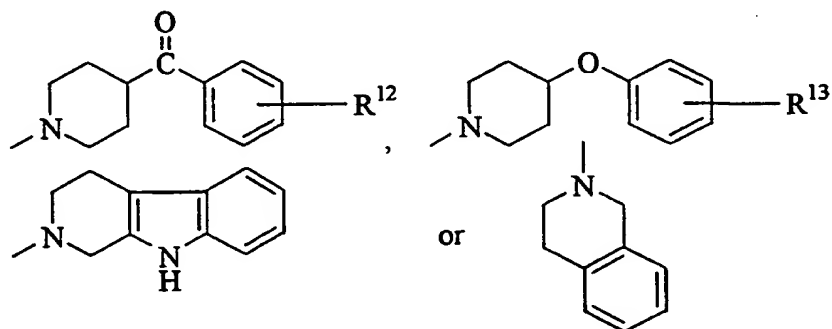
R^5 and R^8 are identical or different and represent hydrogen, or straight-chain or branched (C_1 - C_4)-alkyl,

R^6 and R^7 are identical or different and represent hydrogen, straight-chain or branched (C_1 - C_6)-alkyl, hydroxy, halogen, or straight-chain or branched (C_1 - C_6)-alkoxy,

R^9 , R^{10} and R^{11} are identical or different and represent hydrogen, halogen, nitro, cyano or trifluoromethyl,

and

A represents a residue of the formula



wherein

R^{12} and R^{13} are identical or different and denote hydrogen, halogen, nitro, cyano, straight-chain or branched ($C_1 - C_6$)-alkyl or ($C_1 - C_6$)-alkoxy, or hydroxy,

or

A represents a non-aromatic 5- to 7-membered N-heterocycle which is bound over the nitrogen atom and which optionally contains an oxygen atom or a residue $-NR^{14}$ or $-CH-R^{15}$,

wherein R^{14} and R^{15} are identical or different and denote hydrogen, ($C_3 - C_8$)-cycloalkyl, or denotes straight-chain or branched ($C_1 - C_4$)-alkyl, which is optionally substituted by ($C_6 - C_{10}$)-aryl,

or denote ($C_6 - C_{10}$)-aryl or a 5- or 6-membered aromatic or non-aromatic heterocycle having up to 3 heteroatoms from the series comprising N, S and/or O, and which, in the case of the non-aromatic heterocycle, is optionally bound over the nitrogen atom and wherein the aryl and the heterocycle are optionally mono- to tri-substituted by identical or different substituents from the series comprising halogen, nitro, cyano, hydroxy, trifluormethyl or a residue of the formula $-NR^{16}R^{17}$,

in which

R^{16} and R^{17} are identical or different and denote hydrogen, straight-chain or branched ($C_1 - C_4$)-alkyl or ($C_1 - C_4$) acyl, or $-SO_2-CF_3$, or R^{16} and R^{17} form together with the nitrogen atom a non-aromatic 5- to 7-membered heterocycle, optionally further having an oxygen atom or $-NH$,

or

R^{14} denotes a residue of the formula $-SO_2-R^{18}$,

in which

R^{18} denotes ($C_6 - C_{10}$)-aryl, or straight-chain or branched ($C_1 - C_4$)-alkyl,

or

A represents a residue of the formula $-NR^{19}R^{20}$,

in which

R^{19} denotes hydrogen, or straight-chain or branched ($C_1 - C_4$)-alkyl,

R^{20} denotes a residue of the formula $-D-E-R^{21}$,

in which

D denotes a straight-chain or branched ($C_1 - C_6$)-alkyl chain,

E denotes an oxygen atom or a bond

and

R^{21} denotes ($C_6 - C_{10}$)-aryl or a 5- or 6-membered aromatic heterocycle having up to 3

heteroatoms from the series comprising N, S and/or O,
which are optionally mono- to tri-substituted by nitro, cyano, halogen, tetrazolyl or by
a residue of the formula $-NR^{22}R^{23}$,

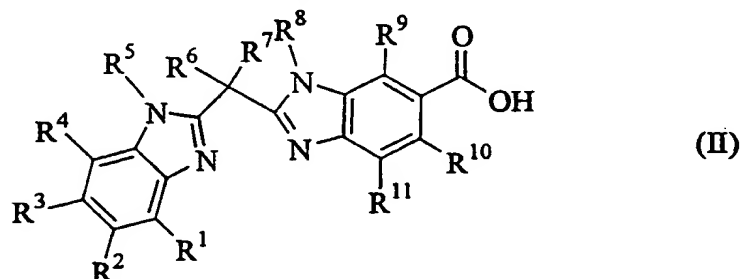
in which

R^{22} and R^{23} are identical or different and denote hydrogen, straight-chain or branched
(C₁ - C₆)-acyl or (C₁ - C₆)-alkyl, or R^{22} denotes hydrogen and R^{23} denotes $-SO_2-CF_3$,

or its salt

comprising that

[A] a compound of the general formula (II)

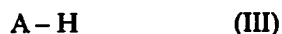


in which

$R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}$ and R^{11} have the above mentioned meaning,

or its reactive derivative on the carboxyl radical

is reacted in an inert solvent with a compound of the general formula (III)

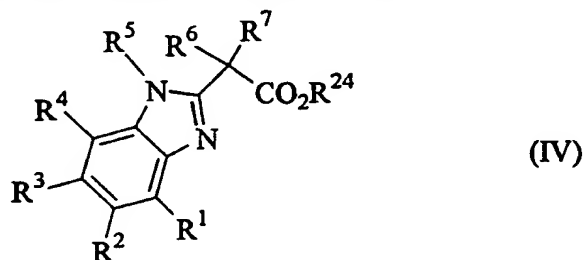


in which

A has the above mentioned meaning,

or

[B] a compound of the general formula (IV)

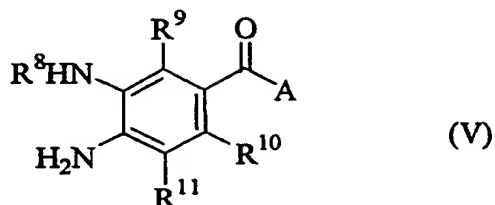


in which

$R^1, R^2, R^3, R^4, R^5, R^6$ and R^7 have the above mentioned meaning, and R^{24} denotes straight-chain

or branched (C₁ - C₆)-alkyl,

is reacted in an inert solvent with a compound of the general formula (V)



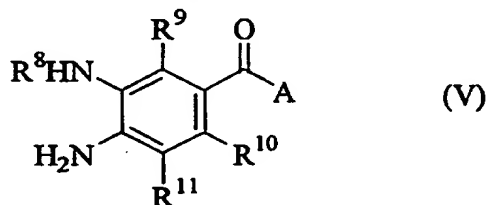
in which

R⁸, R⁹, R¹⁰, R¹¹ and A have the above mentioned meaning,

or

[C] in the case where R⁶ and R⁷ are fluorine in the general formula (I),

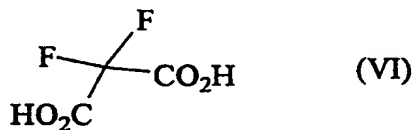
first a compound of the general formula (V)



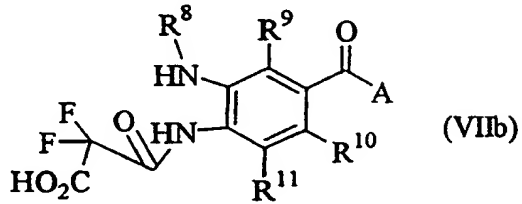
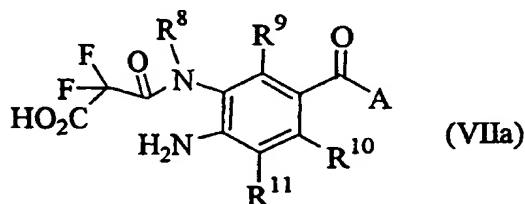
in which

R⁸, R⁹, R¹⁰, R¹¹ and A have the above mentioned meaning,

is reacted with a compound of the formula (VI)



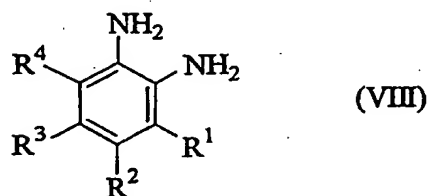
together with the system consisting of reagents which can facilitate this reaction in an inert solvent to prepare a compound of the general formula (VIIa and/or VIIb)



in which

R⁸, R⁹, R¹⁰, R¹¹ and A have the above mentioned meaning,

and in the second step is reacted with a compound of the general formula (VIII)

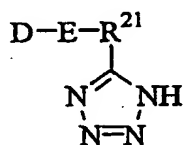


in which

R^1 , R^2 , R^3 and R^4 have the above mentioned meaning,
with the above mentioned system and finally with acetic acid,

or

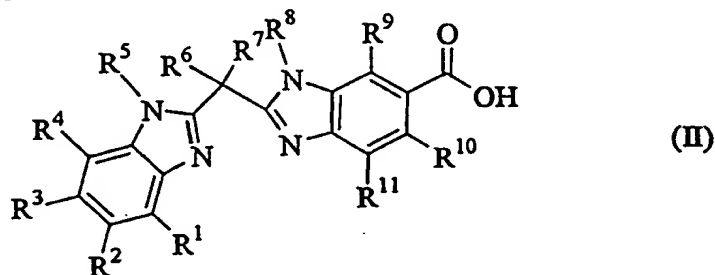
[D] in the case where A in the general formula (I) is a residue of the formula $-NR^{19}R^{20}$ in which R^{19} is hydrogen and R^{20} is a residue of the following formula



in which

D, E and R^{21} have the above mentioned meaning,

a compound of the general formula (II)

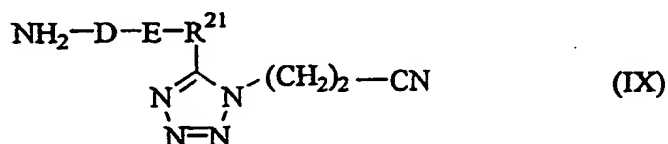


in which

R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , R^{10} and R^{11} have the above mentioned meaning,

or its reactive derivative on the carboxyl radical

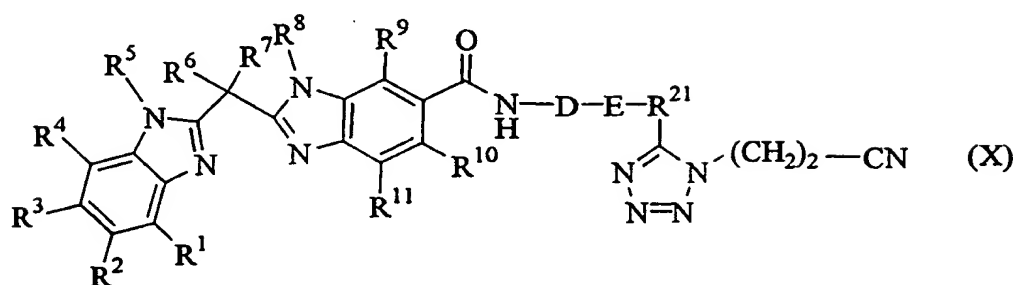
is reacted in an inert solvent with a compound of the general formula (IX)



in which

D, E and R²¹ have the above mentioned meaning,

to prepare a compound of the general formula (X)

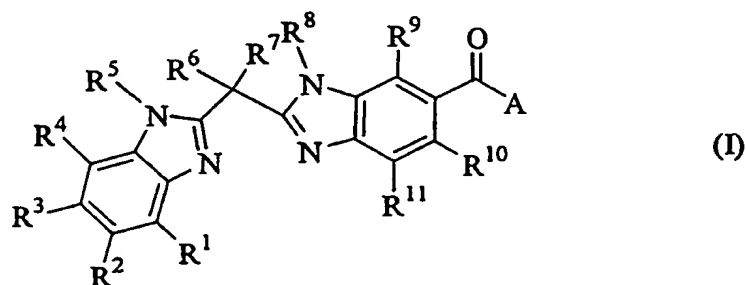


in which

R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, R²¹, D and E have the above mentioned meaning,
and in the last step the residue -(CH₂)₂-CN is eliminated in the presence of a base,
or

[E] in the case where R⁶ is fluorine or hydroxy and R⁷ is alkyl in the general formula (I),
a compound of the general formula (I) in which R⁶ is hydrogen and R⁷ is alkyl,
is reacted first in the system of NaIO₄ and RuCl₃ in an inert solvent to prepare a compound of the
general formula (I), in which R⁶ is hydroxy, and optionally in the second step is reacted with
(C₂H₅)₃NSF₃ in an inert solvent to prepare a fluorine substituted derivative
and further optionally in the case of R⁵ and/or R⁸ is not hydrogen, followed by alkylation
reaction.

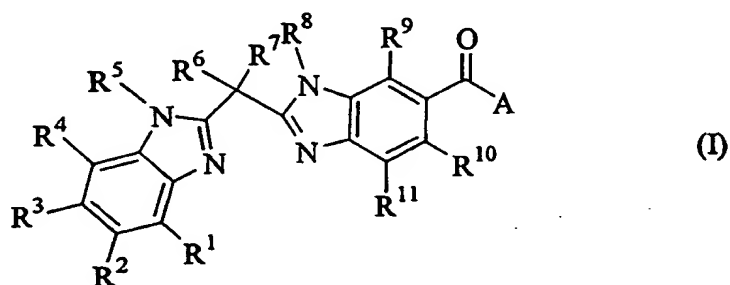
6. A pharmaceutical composition containing a compound of the general
formula (I)



in which

$R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}$ and A are the same meanings defined in claim 1, or its tautomeric or stereoisomeric form, or its physiologically acceptable salt as an active ingredient and a pharmaceutically acceptable carrier.

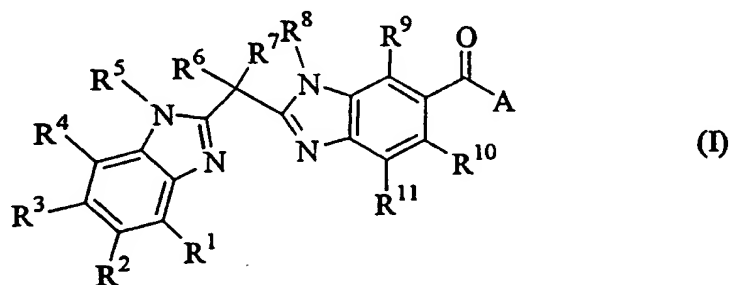
7. A method of treating diseases associated with tryptase activity which comprises administering to a patient an effective amount of a compound of the general formula (I)



in which

$R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}$ and A are the same meanings defined in claim 1, or its tautomeric or stereoisomeric form, or its physiologically acceptable salt.

8. A method of treating asthma, allergic rhinitis, allergic conjunctivitis or allergic dermatitis which comprises administering to a patient an effective amount of a compound of the general formula (I)

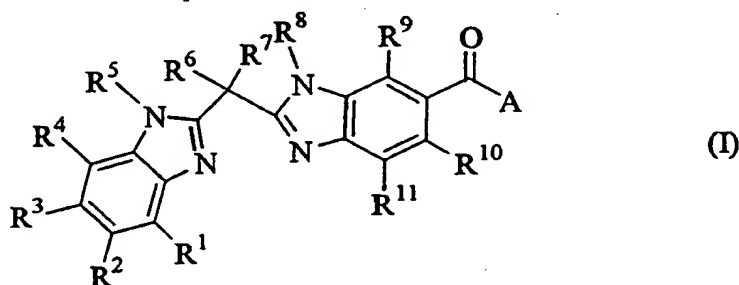


in which

$R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}$ and A are the same meanings defined in claim 1,

or its tautomeric or stereoisomeric form, or its physiologically acceptable salt.

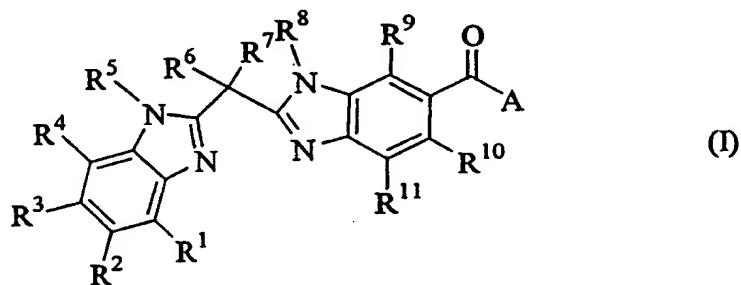
9. Use of a compound of the general formula (I)



in which

R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹ and A are the same meanings defined in claim 1, or its tautomeric or stereoisomeric form, or its physiologically acceptable salt for treating diseases associated with tryptase activity.

10. Use of a compound of the general formula (I)



in which

R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹ and A are the same meanings defined in claim 1, or its tautomeric or stereoisomeric form, or its physiologically acceptable salt for treating asthma, allergic rhinitis, allergic conjunctivitis or allergic dermatitis.